

10/ 750,326

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NEWS 10 JUN 02 The first reclassification of IPC codes now complete in
INPADOC
NEWS 11 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and
and display fields
NEWS 12 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 13 JUL 07 Coverage of Research Disclosure reinstated in DWPI

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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NEWS X25	X.25 communication option no longer available

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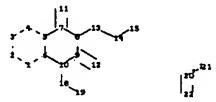
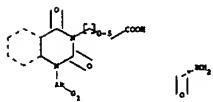
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=>

Uploading C:\Program Files\Stnexp\Queries\10750326.str



chain nodes :
11 12 13 14 15 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
7-11 8-13 9-12 10-18 13-14 14-15 18-19 20-21 20-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 9-12 10-18
18-19 20-21 20-22
exact bonds :
13-14 14-15

10/ 750,326

G1:OH,COOH,NH2,Cb, [*1]

Match level :

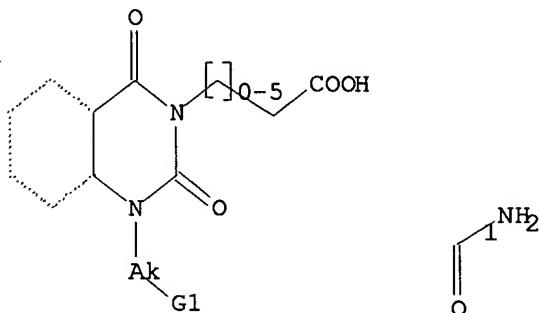
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 18:CLASS 19:CLASS 20:CLASS
21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 OH,COOH,NH2,Cb,[@1]

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sample

SAMPLE SEARCH INITIATED 15:41:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 182 TO ITERATE

100.0% PROCESSED 182 ITERATIONS
SEARCH TIME: 00.00.01

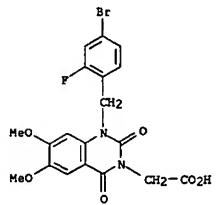
4 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2831 TO 4449
PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> d scan 12

L2 4 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-
dihydro-6,7-dimethoxy-2,4-dioxo- (9CI)
MF C19 H16 Br F N2 O6



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

10/ 750,326

=> s 11 ful
FULL SEARCH INITIATED 15:42:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4349 TO ITERATE

100.0% PROCESSED 4349 ITERATIONS 122 ANSWERS
SEARCH TIME: 00.00.01

L3 122 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
167.38 167.59

FILE 'HCAPLUS' ENTERED AT 15:42:19 ON 10 JUL 2006
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FILE COVERS 1907 - 10 Jul 2006 VOL 145 ISS 3
FILE LAST UPDATED: 9 Jul 2006 (20060709/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13
L4 14 L3

=> d his

(FILE 'HOME' ENTERED AT 15:41:14 ON 10 JUL 2006)

FILE 'REGISTRY' ENTERED AT 15:41:23 ON 10 JUL 2006
L1 STRUCTURE uploaded
L2 4 S L1 SAMPLE
L3 122 S L1 FUL

FILE 'HCAPLUS' ENTERED AT 15:42:19 ON 10 JUL 2006
L4 14 S L3

=> d 14 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 14 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:566933 HCAPLUS

DOCUMENT NUMBER: 141:270985

TITLE: Three-Dimensional Quantitative Structure-Activity Relationship Analysis of a Set of Plasmodium falciparum Dihydrofolate Reductase Inhibitors Using a Pharmacophore Generation Approach

AUTHOR(S): Parenti, Marco; Daniele, Paccioni, Sara; Ferrari, Anna

Marie, Rastelli, Giulio

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Modena e Reggio Emilia, Modena, 41100, Italy

SOURCE: Journal of Medicinal Chemistry (2004), 47(17),

4258-4267

CODEN: JMCHAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A 3D pharmacophore model, able to quant. predict inhibition consts., was derived for a series of inhibitors of Plasmodium falciparum dihydrofolate reductase (PfDHFR), a validated target for antimalarial therapy. The data set included 52 inhibitors, with 23 of these comprising the training set and 29 an external test set. The activity range, expressed as K_I , nM, was from 0.3 to 11 300 nM. The 3D pharmacophore, generated with the Hypogen module of Catalyst 4.7, consisted of two hydrogen bond donors, one pos. ionizable feature, one hydrophobic aliphatic feature, and one hydrophobic aromatic feature and provided a 3D-QSAR model with a correlation coefficient of 0.994. Importantly, the type and spatial location of the chemical features encoded in the pharmacophore were in full agreement with the key binding interactions of PfDHFR inhibitors as previously established by mol. modeling and crystallog. of enzyme-inhibitor complexes. The model was validated using several techniques, namely, Fisher's randomization test using CatScramble, leave-one-out test to ensure that the QSAR model is not strictly dependent on a particular compound of the training set, and activity prediction in an external test set of compds. In addition, the pharmacophore was able to correctly classify as active and inactive the dihydrofolate reductase and aldose reductase inhibitors extracted from the MDDR database, resp. This

test was performed to challenge the predictive ability of the pharmacophore with two classes of inhibitors that target very different binding sites. Mol. diversity of the data sets was finally estimated by the Tanimoto approach. The results obtained provide confidence for the utility of the pharmacophore in the virtual screening of libraries and databases of compds. to discover novel PfDHFR inhibitors.

IT 133166-46-8 133166-55-9 133166-60-6
136149-02-2 180632-11-5 180632-13-7

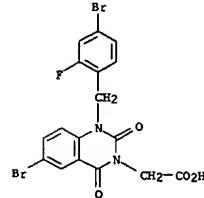
180632-19-3 180632-21-7

RU: PAC (Pharmacological activity); USES (Uses); BIOL (Biological study); (QSAR of Plasmodium falciparum dihydrofolate reductase inhibitors using pharmacophore generation approach)

RN 133166-46-8 HCAPLUS

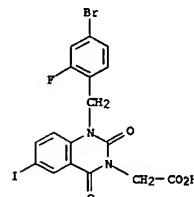
CN 3(2H)-Quinazolinacetic acid, 6-bromo-1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 133166-55-9 HCAPLUS

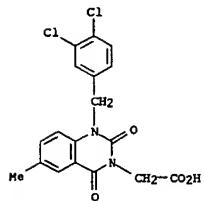
CN 3(2H)-Quinazolinacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-6-iodo-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 133166-60-6 HCAPLUS

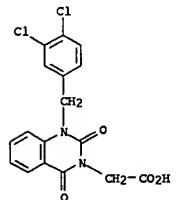
CN 3(2H)-Quinazolinacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 136148-02-2 HCAPLUS

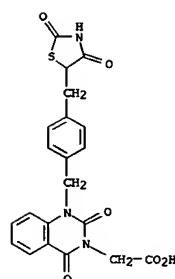
CN 3(2H)-Quinazolinacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 180632-11-5 HCAPLUS

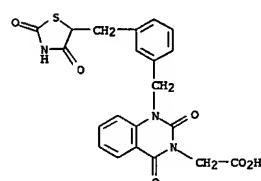
CN 3(2H)-Quinazolinacetic acid, 1-[(4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



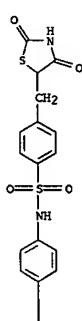
RN 180632-13-7 HCAPLUS

CN 3(2H)-Quinazolinacetic acid, 1-[(3-((2,4-dioxo-5-thiazolidinyl)methyl)phenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

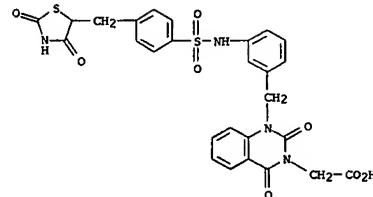


RN 180632-19-3 HCAPLUS

CN 3(2H)-Quinazolinacetic acid, 1-[(4-[(4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl)sulfonyl]amino)phenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



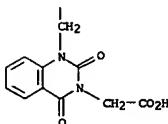
PAGE 1-A



REFERENCE COUNT:

35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PAGE 2-A



RN 180632-21-7 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[[3-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]sulfonyl]amino]phenyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

ACCESSION NUMBER: 2004:428823 HCAPLUS

DOCUMENT NUMBER: 140:417984

TITLE: Remedies for vertebral canal stenosis

INVENTOR(S): Takenobu, Yoshifumi; Kamanaka, Yoshihisa; Obata, Takasaki; Itou, Hidenori

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200403491	A1	20040527	WO 2003-JP14454	20031113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, T2, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
AU 2003280767	A1	20040603	AU 2003-280767	20031113
US 2006058310	A1	20060316	US 2005-534051	20050505
PRIORITY APPLN. INFO.:			JP 2002-330425	A 20021114
			WO 2003-JP14454	W 20031113

OTHER SOURCE(S): MARPAT 140:417984

AB A preventive and/or a remedy for vertebral canal stenosis contains an aldose reductase inhibitory compound, such as 5-(2-propenylidene)-4-oxo-2-thioxo-3-thiazolidineacetic acid derivative. The above remedy is efficacious

in preventing and/or treating vertebral canal stenosis, such as lumbar vertebral canal stenosis. Administration of epalrestat, A5-3201, and fidarestat improved walking dysfunction in the rat spinal stenosis model. Tablets were formulated containing epalrestat 50, Ca CMC 2, Mg stearate 1,

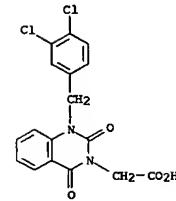
and microcryst. cellulose 47 mg per tablet.

17 136148-02-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses); (aldose reductase inhibitors as remedies for vertebral canal stenosis symptoms)

RN 136148-02-2 HCAPLUS

CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



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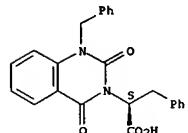
19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:502764 HCAPLUS
 DOCUMENT NUMBER: 137:63251
 TITLE: Solid phase and combinatorial library syntheses of fused 2,4-pyrimidinediones
 INVENTOR(S): Gordoev, Mikhail F.; Patel, Dinesh V.
 PATENT ASSIGNEE(S): Versicor, Inc., USA
 SOURCE: U.S., 22 pp., Cont.-in-part of U.S. 6,025,371.
 CODEN: USXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6413724	B1	20020702	US 1997-795199	19970204
US 6025371	A	20000215	US 1996-740103	19961028
WO 9818781	A2	19980507	WO 1997-US19483	19971027
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KB, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW	AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, CN, ML, MR, NE, SN, TD, TG	1997-795199	19970204
US 1996083	A1	19980522	AU 1998-65083	19971027
PRIORITY APPLN. INFO.:			US 1996-740103	A2 19961028
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			WO 1997-US19483	W 19971027

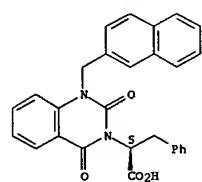
OTHER SOURCE(S): MARPAT 137:63251
 AB A combinatorial library comprising PR [P = quinazolininedionyl, pyrimidopyrimidinyl, pyridopyrimidinyl, pteridinopyrimidinyl; R = -(CH₂)_nCH(R')₂(CH₂)_nCH(R')₂(CH₂)_nXO, -(CH₂)_nCH(R')₂(CH₂)_nXO, etc.; R', R'' = H, alkyl, aryl, O, amino, SH, SRIO, O, COR, amino acid sidechain; R = alkyl, aryl, heteroaryl; m, n, o, p = 0-4; Q = solid support], is claimed. Thus, Fmoc-Ala-Sasrin resin was deprotected by agitation with 20% piperidine in DMF. Fmoc-Phe-OH, 1-hydroxybenzotriazole, and disisopropylcarbodiimide were mixed in N-methylpyrrolidin-2-one, and the mixture stirred at r.t. for 20 min. The resultant solution was added to the above deprotected H-Ala-Sasrin, and the mixture shaken for 1.5 h. The Fmoc-Phe-Ala-Sasrin resin thus obtained was deprotected by agitation with 20% piperidine in DMF at r.t. and dried under vacuum; 2-MeOC₆HNO₂ in pyridine/DMF was added to the deprotected dipeptide amine resin and the mixture agitated for 1 h. The resultant urea resin was filtered, dried, and cyclized by stirring at 60° with 5% tetramethylguanidine or 5% 1,8-diazabicyclo[5.4.0]undec-7-ene in N-methylpyrrolidin-2-one for 21 h. The resultant quinazolininedione resin was cleaved with 3% CF₃CO₂H in CH₂Cl₂ to give 3-[(S)-1-benzyl-1-(S)-2-carboxylaminopropionic acid]methyl-2,4-(1H,3H)-quinazolininedione.
 IT 198789-64-2P 198789-65-3P 207346-35-8P
 207346-37-0P 207346-39-2P
 RL: SPN (Synthetic preparation): PREP (Preparation)

L4 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



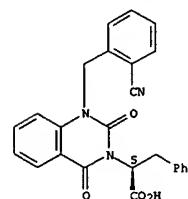
RN 207346-37-0 HCAPLUS
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Absolute stereochemistry.



RN 207346-39-2 HCAPLUS
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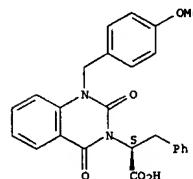
Absolute stereochemistry.



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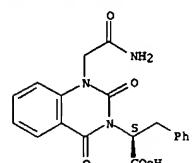
L4 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (solid phase and combinatorial library syntheses of fused 2,4-pyrimidinediones)
 RN 188789-64-2 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-1-[(4-methoxyphenyl)methyl]-2,4-dioxo- α -(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188789-65-3 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-(2-amino-2-oxoethyl)-1,4-dihydro-2,4-dioxo- α -(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207346-35-8 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo- α ,1-bis(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

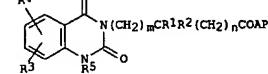
L4 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ACCESSION NUMBER: 1999:7976 HCAPLUS
 DOCUMENT NUMBER: 130:52432
 TITLE: Method for producing quinazolininediones and quinazolininedione libraries in solid phase
 INVENTOR(S): Puhl, Michael; Adida, Serger Klinge, Dagmar Kling, Andreas
 PATENT ASSIGNEE(S): BASF A.G., Germany
 SOURCE: PCT Int. Appl., 45 pp.
 CODEN: PIXMD2

DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9856770	A1	19981217	WO 1998-EP3226	19980529
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CA 2294175	AA	19981217	CA 1998-2294175	19980529
AU 9881084	A1	19981230	AU 1998-81084	19980529
EP 988291	A1	20000329	EP 1998-930763	19980529
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE, IE, FI	DE 1997-19724983	DE 1997-19724983	19970613	
JP 2002507199	T2	20020305	JP 1999-501455	19980529
ZA 9805122	A	19991213	ZA 1998-5122	19980612
PRIORITY APPLN. INFO.:			DE 1997-19724983	A 19970613
			WO 1998-EP3226	W 19980529

OTHER SOURCE(S): MARPAT 130:52432

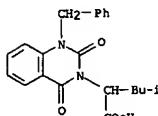


AB Quinazoline diones I [P = solid-phase support; A = O, NH; R₁, R₂ = H, (un)substituted alkyl, cycloalkyl, aryl, heteroaryl; R₁R₂ = 3-9-membered ring; R₃, R₄ = H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, halogen, (un)substituted NH₂, OH, SH, CO₂H, CONH₂, NO₂, CN; R₃R₄ = atoms required to form an aromatic or heteroarom. ring; R₅ = (un)substituted alkyl, aralkyl, heteroaralkyl; m, n = 0-6] were prepared by treating a polymer-bound amino acid H₂N(CH₂)_mCR₁R₂(CH₂)_nCOAP with a 2-aminobenzoic acid or isatoic anhydride to give the amide, treating this with a heterocyclic carbonyl compound, and alkylating. The process is suitable for producing libraries of I for high-throughput screening.

IT 217457-83-5P

RL: SPN (Synthetic preparation): PREP (Preparation)

L4 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (prep. of quinazolinediones and quinazolinedione libraries in solid phase)
 RN 217457-83-5 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro- α -(2-methylpropyl)-2,4-dioxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (solid phase and combinatorial library syntheses of 3,1-benzoxazin-4-ones)
 INVENTOR(S): Gordeev, Mikhail; Patel, Dinesh
 PATENT ASSIGNEE(S): Versicor, Inc., USA
 SOURCE: PCT Int. Appl., 38 pp.
 CODEN: PIXMD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9833783	A1	19980806	WO 1998-US2064	19980204
W: AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, LV, LS, LT, LU, MV, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BY, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9862649	A1	19980825	AU 1998-62648	19980204

PRIORITY APPLN. INFO.: US 1997-795191 A2 19970204
 WO 1998-US2064 W 19980204

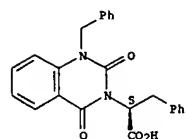
AB A combinatorial library containing derivs. of 3,1-benzoxazine-4-one is claimed. The invention further provides methods for the identification of bioactive, 3,1-benzoxazine-4-ones from those libraries. Thus, FMOC-protected sarcosine on Tentagel resin was deprotected with piperidine in DMF followed by agitation with 2-(p-nitrophenyl)carbamoyl-4,5-difluorobenzoylate in pyridine/DMF. The product was agitated with N-methylpiperazine in N-methylpyrrolidone followed by ester deprotection with KOTMS in THF and cyclization using p-toluenesulfonyl chloride, and resin cleavage with CF₃CO₂H to give 2-(N-amidomethyl-N-methyl)amino-6-fluoro-7-(4-methyl)piperazine-3,1-benzoxazine-4-one.

IT 207346-35-8?
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (solid phase and combinatorial library syntheses of 3,1-benzoxazine-4-ones)

RN 207346-35-8 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo- α ,1-bis(phenylmethyl)-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (Preparation of fused 2,4-pyrimidinedione combinatorial libraries having antimicrobial and β -lactamase activity)
 INVENTOR(S): Gordeev, Mikhail; Patel, Dinesh
 PATENT ASSIGNEE(S): Versicor, Inc., USA
 SOURCE: PCT Int. Appl., 71 pp.
 CODEN: PIXMD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818781	A2	19980507	WO 1997-US19483	19971027
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BY, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6025371	A	20000215	US 1996-740103	19961028
US 6413724	B1	20020702	US 1997-795189	19970204
AU 9869083	A1	19980522	US 1998-69083	19971027

PRIORITY APPLN. INFO.: US 1996-740103 A 19961028
 US 1997-795189 A 19970204
 US 1997-816120 A2 19970311 W 19971027

AB Combinatorial libraries comprising pyrimidopyrimidinediones, 2,4-pteridinediones, pyrimidopyridazinediones, and azolopyrimidinediones substituted at the 3 position by substituted alkyl chains are claimed. A library of quinazoline-2,4-diones was prep'd; several inhibited β -lactamase with IC₅₀ = 1.3-183 μ M.

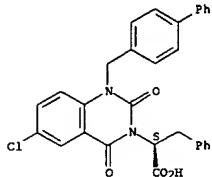
IT 207346-51-8 207346-52-9 207346-53-0
 207346-55-2 207346-61-0 207346-63-2
 207346-65-4 207346-66-5 207346-67-6
 207346-68-7 207346-69-8 207346-71-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of fused 2,4-pyrimidinedione combinatorial libraries having antimicrobial and β -lactamase activity)

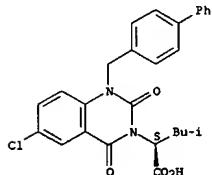
RN 207346-51-8 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-6-chloro-1,4-dihydro-2,4-dioxo- α -(phenylmethyl)-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



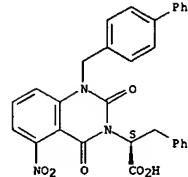
RN 207346-52-9 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-((1,1'-biphenyl)-4-ylmethyl)-6-chloro-1,4-dihydro-a-(2-methylpropyl)-2,4-dioxo-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



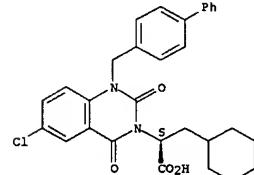
RN 207346-53-0 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-((1,1'-biphenyl)-4-ylmethyl)-1,4-dihydro-5-nitro-2,4-dioxo-a-(phenylmethyl)-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



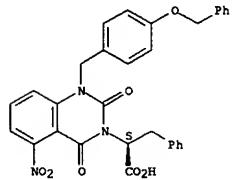
RN 207346-55-2 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-((1,1'-biphenyl)-4-ylmethyl)-6-chloro-a-(cyclohexylmethyl)-1,4-dihydro-2,4-dioxo-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



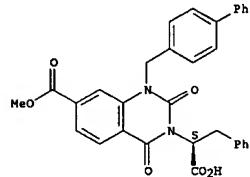
RN 207346-61-0 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-5-nitro-2,4-dioxo-1-[(4-(phenylmethyl)phenyl)methyl]-a-(phenylmethyl)-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



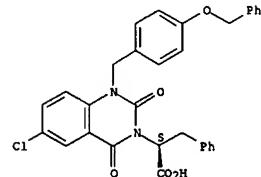
RN 207346-63-2 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-((1,1'-biphenyl)-4-ylmethyl)-1,4-dihydro-7-(methoxycarbonyl)-2,4-dioxo-a-(phenylmethyl)-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

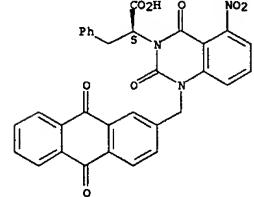


RN 207346-65-4 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-[(4-(phenylmethoxy)phenyl)methyl]-a-(phenylmethyl)-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

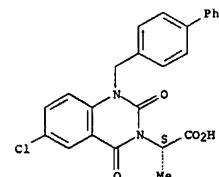


Absolute stereochemistry.



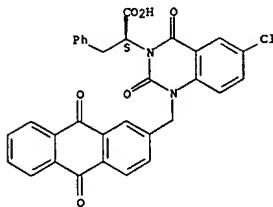
RN 207346-67-6 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-((1,1'-biphenyl)-4-ylmethyl)-6-chloro-1,4-dihydro-a-methyl-2,4-dioxo-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



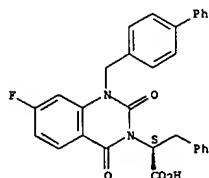
RN 207346-68-7 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(9,10-dihydro-9,10-dioxo-2-anthracenyl)methyl]-1,4-dihydro-2,4-dioxo-a-(phenylmethyl)-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207346-69-8 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-((1,1'-biphenyl)-4-ylmethyl)-7-fluoro-1,4-dihydro-2,4-dioxo-α-(phenylmethyl)-, (eS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

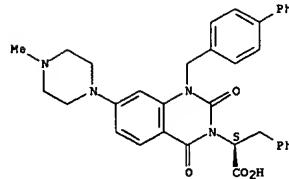


RN 207346-71-2 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-((1,1'-biphenyl)-4-ylmethyl)-1,4-dihydro-7-(4-methyl-1-piperazinyl)-2,4-dioxo-α-(phenylmethyl)-, (eS)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 207346-70-1
 CMF C35 H34 N4 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2

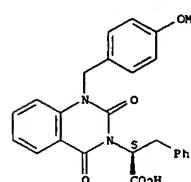


IT 188789-64-2P 188789-65-3P 207346-35-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of fused 2,4-pyrimidinedione combinatorial libraries having antimicrobial and β-lactamase activity)

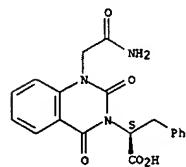
RN 188789-64-2 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-1-((4-methoxyphenyl)methyl)-2,4-dioxo-α-(phenylmethyl)-, (eS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



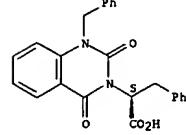
L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RN 188789-65-3 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-(2-amino-2-oxoethyl)-1,4-dihydro-2,4-dioxo-α-(phenylmethyl)-, (eS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



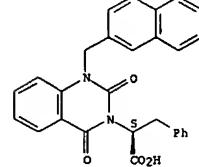
RN 207346-35-8 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo-α,1-bis(phenylmethyl)-, (eS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207346-37-0 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-1-(2-naphthalenylmethyl)-2,4-dioxo-α-(phenylmethyl)-, (eS)- (9CI) (CA INDEX NAME)

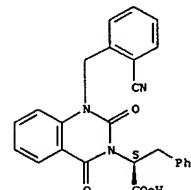
Absolute stereochemistry.



RN 207346-39-2 HCAPLUS

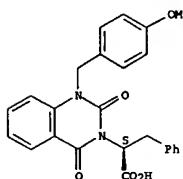
L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN 3(2H)-Quinazolineacetic acid, 1-[(2-cyanophenyl)methyl]-1,4-dihydro-2,4-dioxo-α-(phenylmethyl)-, (eS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 7 OF 14 HCPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1997:187295 HCPLUS
 DOCUMENT NUMBER: 126:264072
 TITLE: A general and efficient solid phase synthesis of quinazoline-2,4-diones
 AUTHOR(S): Gordiev, Mikhail F.; Hui, Hon C.; Gordon, Eric M.; Patel, Dinesh V.
 CORPORATE SOURCE: Versicor, Inc., South San Francisco, CA, 94080, USA
 SOURCE: Tetrahedron Letters (1997), 38(10), 1729-1732
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 126:264072
 AB An efficient solid phase synthesis of chiral quinazolinediones is described. Immobilized amino acid based urea derivs. undergo a racemization-free heterocyclization upon gentle heating in presence of tetraethylguanidine to afford fused pyrimidine-2,4-diones, which are smoothly N1-alkylated under mild conditions to produce immobilized quinazolinediones. The method is amenable to combinatorial synthesis and offers broad scope for structural and chemical diversity, as illustrated by preparation of a fused thieno[2,3-d]pyrimidine-2,4-dione and a hydroxamate pharmacophore bearing a quinazolinedione derivative
 IT 188789-64-2P 188789-65-3P 188789-66-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (solid phase synthesis of quinazoline-2,4-diones)
 RN 188789-64-2 HCPLUS
 CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-1-[(4-methoxyphenyl)methyl]-2,4-dioxo- α -(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

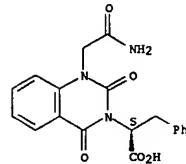
Absolute stereochemistry.



RN 188789-65-3 HCPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-(2-amino-2-oxoethyl)-1,4-dihydro-2,4-dioxo- α -(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

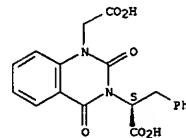
Absolute stereochemistry.

L4 ANSWER 7 OF 14 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)



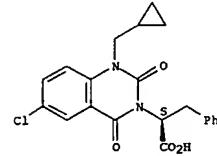
RN 188789-66-4 HCPLUS
 CN 1,3(2H)-Quinazolinedicarboxylic acid, 2,4-dioxo- α -(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



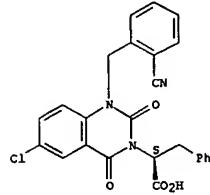
RN 188789-67-5 HCPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-(cyclopropylmethyl)-1,4-dihydro-2,4-dioxo- α -(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



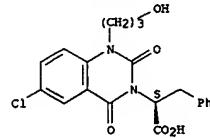
RN 188789-68-6 HCPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(2-cyanophenyl)methyl]-1,4-dihydro-2,4-dioxo- α -(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 14 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
 Absolute stereochemistry.



RN 188789-69-7 HCPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-1-(3-hydroxypropyl)-2,4-dioxo- α -(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 14 HCPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1996:537366 HCPLUS
 DOCUMENT NUMBER: 125:195674
 TITLE: Preparation of 2,4-dioxo-1,2,3,4-tetrahydroquinazoline derivatives having blood sugar-lowering and aldose reductase-inhibiting activity
 INVENTOR(S): Myaoka, Shozo; Sato, Hiroko; Matsushima, Hiroaki; Sugasaki, Myoshi
 PATENT ASSIGNEE(S): Terumo Corp, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.
 CODEN: JKOKAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08143566	A2	19960604	JP 1994-291053	19941125
PRIORITY APPLN. INFO.:			JP 1994-291053	19941125
OTHER SOURCE(S):	MARPAT	125:195674		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

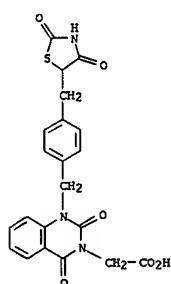
AB The title compd. [I]; R3, R4 = H, halo, lower alkyl, lower alkoxy, haloalkyl; R1, R2 = R5-CO2R6, CH2CGH4-A-T, (CH2)m-B-T; wherein R5 = C1-3 alkylene, R6 = H, C1-8 alkyl; A = CH2, 1,2-, 1,3-, or 1,4-NHSO2CH4CH2, -CH2CH2CGH4CH2, or -CH:CHCGH4CH2; T = heterocyclcyl having weakly acidic H; m = 1-7; B = NSO2-CGH4-CH2, which are useful for the treatment of diabetes complications such as cataract, retinopathy, or nerve or kidney disorders, are prepared. Thus, Et 2,4-dioxo-2H-3,1-benzoxazine-1-(4H)-acetate, 4-nitrobenzyl amine hydrochloride, and Et3N were suspended in toluene and stirred at 100° for 2.5 h to give Et [2-(N-(4-nitrobenzyl)carbamoyl)phenylamino]acetate, which was cyclocondensed with 1,1'-carbonyldiimidazole at 130° for 2 h to I (R1 = 4-nitrobenzyl, R2 = CH2CO2Et, R3 = R4 = H), diazotized with NaNO2 in HBr/aqueous acetone at 5°, and coupled with Et acrylate in the presence of Cu2O at 30° to give I (R1 = Q, R2 = CH2CO2Et, R3 = R4 = H). The latter compound was cyclocondensed with thiourea in the presence of AcONa in ethanol under reflux for 6 h to I (R1 = Q1, wherein Z = NH, R2 = CH2CO2Et, R3 = R4 = H), which was hydrolyzed in 2 N aqueous HCl under reflux to give I (R1 = Q1, wherein Z = O, R2 = CH2CO2Et, R3 = R4 = H) and I (R1 = Q1, wherein Z = O, R2 = CH2CO2H, R3 = R4 = H). I (R1 = Q2, R2 = CH2CO2H, R3 = R4 = H) and I (R1 = Q3, R2 = CH2CO2H, R3 = R4 = H) in vitro showed IC50 of 3.34 + 10-8 and 2.13 + 10-6 M, resp., against aldose reductase, and at 100 mg/kg/day p.o. for 2 days in vivo lowered blood sugar by 13 and 36%, resp.

IT 180632-11-5P 180632-13-7P 180632-19-3P
 180632-21-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); USES (Uses)
 (preparation of dioxotetrahydroquinazoline derivs. having blood sugar-lowering and aldose reductase-inhibiting activity for treating diabetes complications)

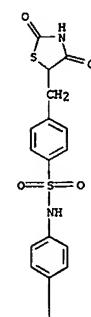
RN 180632-11-5 HCPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[4-[(2,4-dioxo- α -

L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN 3(2H)-Quinazolineacetic acid, 1-[(3-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 180632-13-7 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(3-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

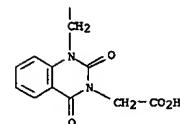
L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 PAGE 1-A



RN 180632-13-7 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(3-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

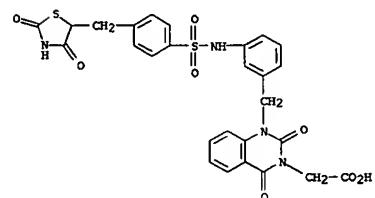
RN 180632-19-3 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[[4-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]sulfonyl]amino]phenyl]methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

PAGE 2-A



RN 180632-21-7 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[[3-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]sulfonyl]amino]phenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

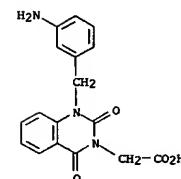
L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



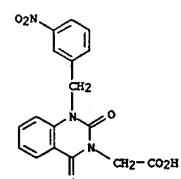
IT 180632-52-4P 180632-53-5P 180632-54-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of dioxotetrahydroquinazoline derivs. having blood sugar-lowering and aldose reductase-inhibiting activity for treating diabetes complications)

RN 180632-52-4 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-1-[(3-nitrophenyl)methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)

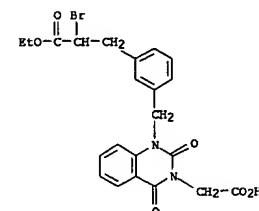
L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 180632-54-6 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(3-[(2-bromo-3-ethoxy-3-oxopropyl)phenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 180632-53-5 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(3-aminophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:358755 HCAPLUS

DOCUMENT NUMBER: 122:133211

TITLE: Preparation of quinazoline, quinoline, and benzoxazine derivatives as ACAT inhibitors

INVENTOR(S): Natsumi, Hidesaki; Sugama, Yasuo; Morimoto, Shinji

PATENT ASSIGNEE(S): Takeda Chemical Industries Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKKCAF

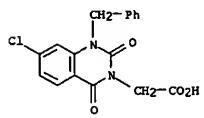
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

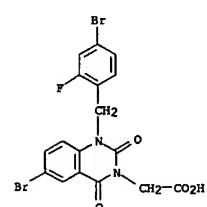
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06263736	A2	19940920	JP 1994-2273	19940114
JP 3524133	B2	20040510	JP 1993-5390	A 19930114
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S):	MARPAT 122:133211			
GI	For diagram(s), see printed CA issue.			
AB	The title compds. I [ring A = (un)substituted benzene ring; ring B = (un)substituted aromatic ring; R = CO, CS, etc.; Y = CH, N; or WY = C:CH; X = CH ₂ , O, etc.; Z = NH, CH ₂ , etc.; dotted line indicates single bond or double bond] provisions are given; R = H, etc.), useful as ACAT (acyl-Co-A:cholesterol acyltransferase) inhibitors, are prepared. 6-Chloro-N-(2-(2,6-diethoxyphenyl)-1,4-dihydro-2-oxo-1-phenylmethyl-3(2H)-quinazolinesacetamide in vitro at 10-6 M gave 90.3% inhibition of ACAT. The inhibiting activities of 22 compds. of this invention against ACAT are given in a table of this document.			
IT	160974-66-3			
RU:	RCT (Reactant); RACT (Reactant or reagent)			
	(preparation of quinazoline, quinoline, and benzoxazine derivs. as ACAT inhibitors)			
RN	160974-66-3 HCAPLUS			
CN	3(2H)-Quinazolineacetic acid, 7-chloro-1,4-dihydro-2,4-dioxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)			



L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 contg. Et3N and cyclocondensation of the resulting 2,5-(H2N)-ClC6H3CONHCH2CO2Et with N,N'-carbonyldiimidazole in dioxane at 150° gave Et 6-chloro-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinesacetate which was alkylated with 2-ClC6H4CH2Cl in the presence of NaH in DMF at 70° to give Et 6-chloro-1-(4-chlorophenyl)methyl-1,4-dihydro-2,4-dioxo-3(2H)-quinazolinesacetate. A total of 196 I were prepd. and in vitro inhibited aldose reductase with IC50 of 10-7 - 10-8 M and arachidonic acid-induced rabbit's platelet aggregation with IC50 of 10-5 - 10-7 M.

IT	133166-46-8P 133166-48-0P 133166-49-1P	133166-50-4P 133166-53-7P 133166-57-1P
	133166-58-2P 133166-59-3P 133166-60-6P	133166-64-0P 136147-80-3P 136147-81-4P
	136147-82-5P 136147-84-7P 136147-85-8P	136147-87-0P 136147-88-1P 136147-89-2P
	136147-90-5P 136147-91-6P 136147-93-8P	136147-94-9P 136147-95-0P 136147-96-1P
	136147-97-2P 136147-98-3P 136147-99-4P	136148-00-0P 136148-01-1P 136148-02-2P
	136148-03-3P 136148-04-4P 136148-05-5P	136148-06-6P 136148-07-7P 136148-08-8P
	136148-09-9P 136148-10-2P 136148-11-3P	136148-12-4P 136148-13-5P 136148-15-7P
	136148-16-8P 136148-17-9P 136148-18-0P	136148-19-1P 136148-20-4P 136148-21-5P
	136148-22-6P 136148-23-7P 136148-24-8P	136148-25-9P 136148-27-1P 136148-29-3P
	136148-31-7P 136148-32-8P 136148-33-9P	136148-34-0P 136148-37-3P 136148-38-4P
	136148-40-8P 136148-41-9P 136148-42-0P	136148-43-1P 136148-44-2P 136148-45-3P
	136148-49-7P 136148-50-0P 136148-53-3P	136148-54-4P 136148-55-5P 136148-69-1P
	136148-74-8P 136148-75-9P 136148-76-0P	136148-77-1P 136148-78-2P 136148-79-3P
RU:	SPN (Synthetic preparation); PREP (Preparation)	(preparation of, as aldose reductase and platelet aggregation inhibitor)
RN	133166-46-8 HCAPLUS	
CN	3(2H)-Quinazolineacetic acid, 6-bromo-1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)	



RN 133166-48-0 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-7-chloro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:559174 HCAPLUS

DOCUMENT NUMBER: 115:159174

TITLE: Preparation of quinazoline-3-alkanoates as platelet aggregation and aldose reductase inhibitors

INVENTOR(S): Fujimori, Shizuyoshi; Ohnata, Michiro; Hirata, Yoshihiro; Murakami, Koji

PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

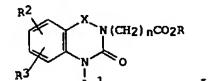
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

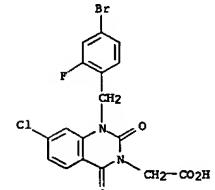
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9109024	A1	19910627	WO 1990-JP1600	19901210
W: AU, CA, HU, KR, US	BR: BE, CH, DE, ES, FR, GB, IT, NL, SE			
JP 03181469	A2	19910807	JP 1989-321097	19891211
JP 07047582	B4	19950524		
CA 2046603	AA	19910612	CA 1990-2046603	19901210
AU 9168905	A1	19910718	AU 1991-68905	19901210
AU 640194	B2	19930819		
EP 456835	A1	19911121	EP 1991-900052	19901210
EP 456835	B1	19960515		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
HU 58304	A2	19920228	HU 1991-2399	19901210
HU 207999	B	19930728		
ES 2087991	T3	19960801	ES 1991-900052	19901210
US 5234928	A	19930810	US 1991-721610	19910717
PRIORITY APPLN. INFO.:	MARPAT 115:159174			
GI				

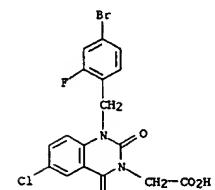


AB The title compds. I [R = H, carboxy-protective group; R1 = alkyl, alkenyl, alkyne, alkoxy, alkylthio, halo, (substituted) Ph, heterocyclyl, or benzoyl, naphthyl, cycloalkyl; R2, R3 = H, halo, alkyl, alkoxy, (substituted) aralkyl, NO2, imidazolyl, imidazolylmethyl, NR4R5; R4, R5 = H, alkyl, or NR4R5 = 5- or 6-membered heterocyclyl optionally containing other heteroatom(s); X = CO, CS, (alkyl-substituted) CH2; A = alkylene, alkenylene; n = 1-3], useful for treatment of thrombosis, heart diseases, or diabetes complications, are prepared. Thus, condensation of H2NCH2CO2Et·HCl with 6-chloro-2H-3,1-benzoxazine-2,4(1H)-dione in dioxane

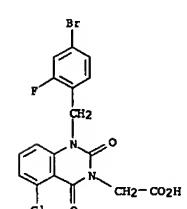
L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 133166-49-1 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-6-chloro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

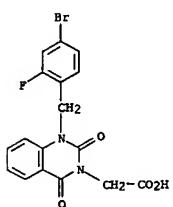


RN 133166-50-4 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-5-chloro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

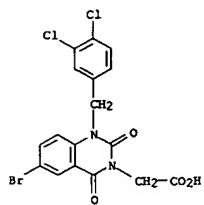


RN 133166-48-0 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-7-chloro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RN 133166-53-7 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

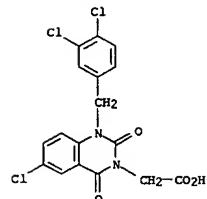


RN 133166-57-1 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-bromo-1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

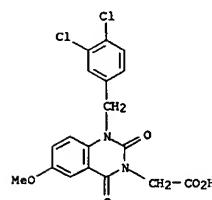


RN 133166-58-2 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

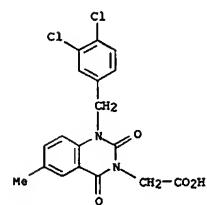


RN 133166-59-3 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6-methoxy-2,4-dioxo- (9CI) (CA INDEX NAME)



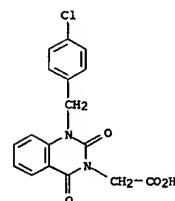
RN 133166-60-6 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

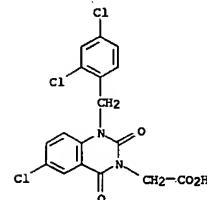


RN 133166-64-0 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-6-nitro-2,4-dioxo- (9CI) (CA INDEX NAME)

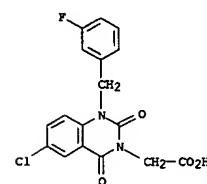
L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-chlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



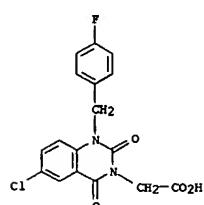
RN 136147-82-5 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 136147-84-6 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(3-fluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



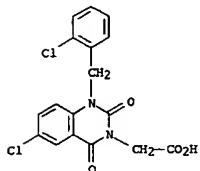
RN 136147-80-3 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(4-fluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



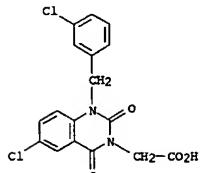
RN 136147-81-4 HCAPLUS

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 136147-85-8 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(2-chlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

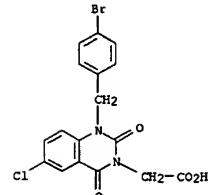


RN 136147-87-0 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(3-chlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

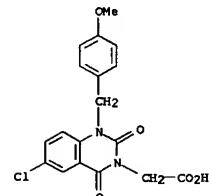


RN 136147-88-1 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromophenyl)methyl]-6-chloro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

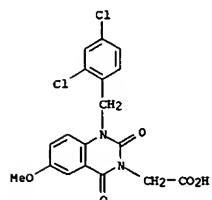


RN 136147-89-2 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-1-[(4-methoxyphenyl)methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)

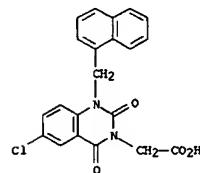


RN 136147-90-5 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-6-methoxy-2,4-dioxo- (9CI) (CA INDEX NAME)

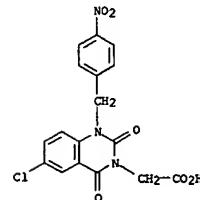
L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 136147-91-6 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-1-(1-naphthalenylmethyl)-2,4-dioxo- (9CI) (CA INDEX NAME)

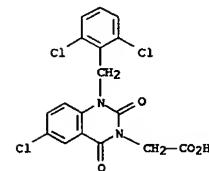


RN 136147-93-8 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-1-[(4-nitrophenyl)methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)

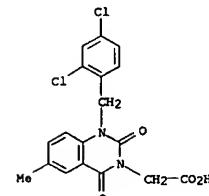


RN 136147-94-9 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(2,6-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

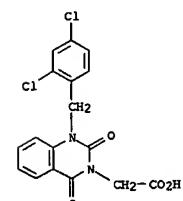
L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 136147-95-0 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-6-methoxy-2,4-dioxo- (9CI) (CA INDEX NAME)

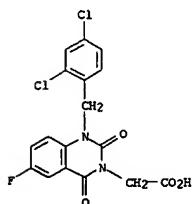


RN 136147-96-1 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

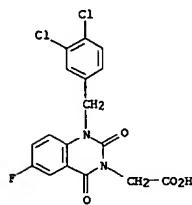


RN 136147-97-2 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(2,4-dichlorophenyl)methyl]-6-fluoro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

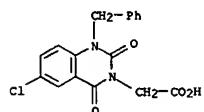
L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 136147-98-3 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-6-fluoro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

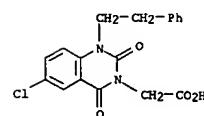


RN 136147-99-4 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

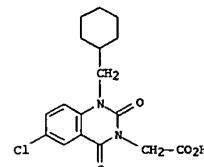


RN 136148-00-0 HCAPLUS

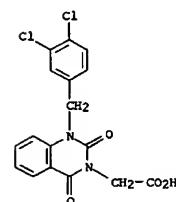
L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 136148-01-1 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-(cyclohexylmethyl)-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

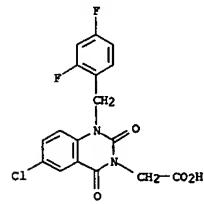


RN 136148-02-2 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

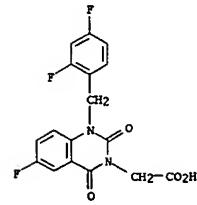


RN 136148-03-3 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(2,4-difluorophenyl)methyl]-1,4-

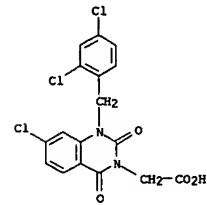
L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 136148-04-4 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(2,4-difluorophenyl)methyl]-6-fluoro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

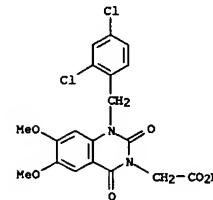


RN 136148-05-5 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 7-chloro-1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

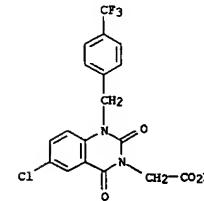


L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

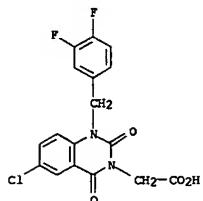
RN 136148-06-6 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-6,7-dimethoxy-2,4-dioxo- (9CI) (CA INDEX NAME)



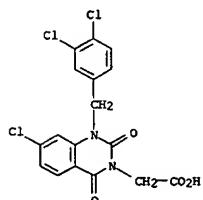
RN 136148-07-7 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-[(4-(trifluoromethyl)phenyl)methyl]- (9CI) (CA INDEX NAME)



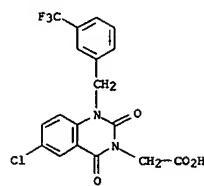
RN 136148-08-8 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(3,4-difluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



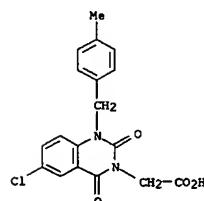
RN 136148-09-9 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 7-chloro-1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



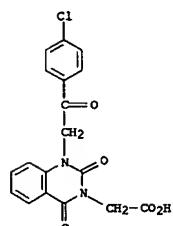
RN 136148-10-2 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



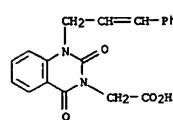
RN 136148-11-3 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-1-[(4-methylphenyl)methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)



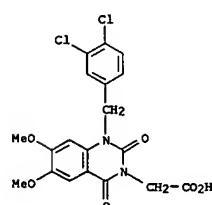
RN 136148-12-4 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(2-(4-chlorophenyl)-2-oxoethyl)-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



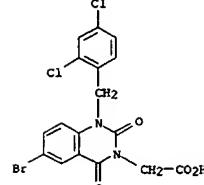
RN 136148-13-5 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo-1-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



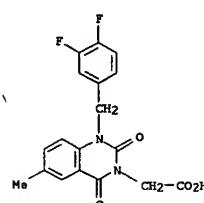
RN 136148-15-7 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6,7-dimethoxy-2,4-dioxo- (9CI) (CA INDEX NAME)



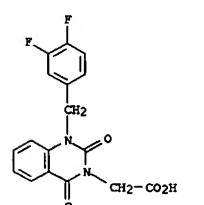
RN 136148-16-8 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-bromo-1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 136148-17-9 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-difluorophenyl)methyl]-1,4-dihydro-6-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)

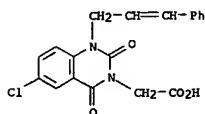


RN 136148-18-0 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-difluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

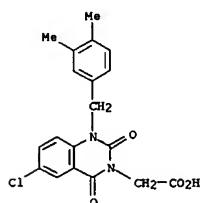


RN 136148-19-1 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-(3-phenyl-2-

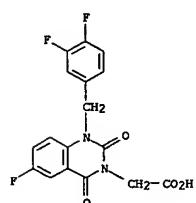
L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
propenyl)- (9CI) (CA INDEX NAME)



RN 136148-20-4 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(3,4-dimethylphenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

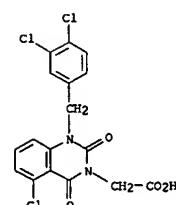


RN 136148-21-5 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-difluorophenyl)methyl]-6-fluoro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

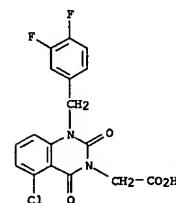


RN 136148-22-6 HCAPLUS

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
3(2H)-Quinazolineacetic acid, 5-chloro-1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

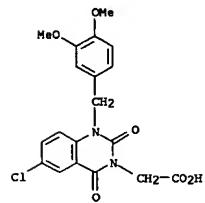


RN 136148-23-7 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 5-chloro-1-[(3,4-difluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

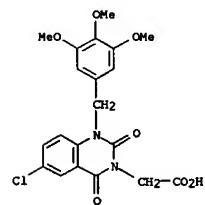


RN 136148-24-8 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(3,4-dimethoxyphenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

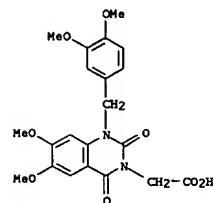
L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 136148-25-9 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

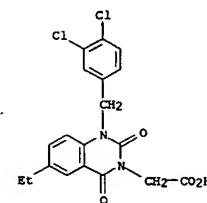


RN 136148-27-1 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dimethoxyphenyl)methyl]-1,4-dihydro-6,7-dimethoxy-2,4-dioxo- (9CI) (CA INDEX NAME)

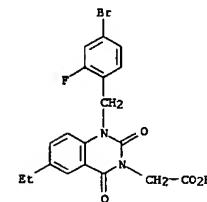


RN 136148-29-3 HCAPLUS

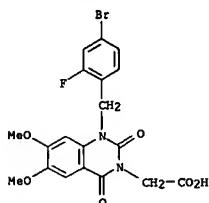
L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-6-ethyl-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



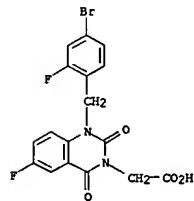
RN 136148-31-7 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-6-ethyl-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



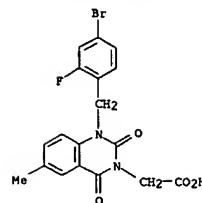
RN 136148-32-8 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-6,7-dimethoxy-2,4-dioxo- (9CI) (CA INDEX NAME)



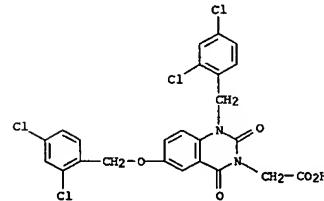
RN 136148-33-9 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-6-fluoro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



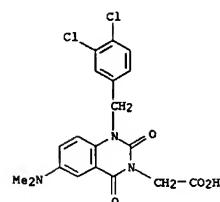
RN 136148-34-0 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-6-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)



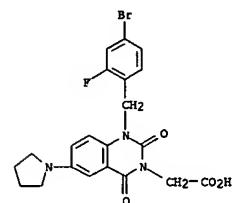
RN 136148-37-3 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 6-[(2,4-dichlorophenyl)methoxy]-1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



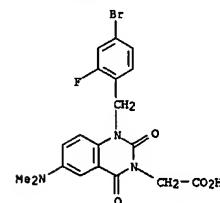
RN 136148-38-4 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-6-(dimethylamino)-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



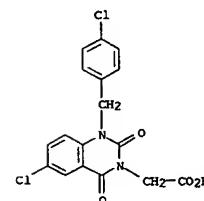
RN 136148-40-8 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-2,4-dioxo-6-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



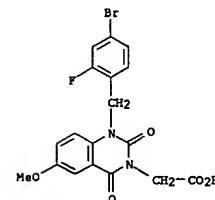
RN 136148-41-9 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-6-(dimethylamino)-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



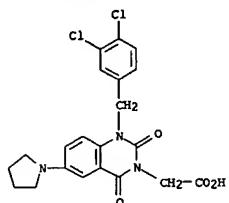
RN 136148-42-0 HCAPLUS



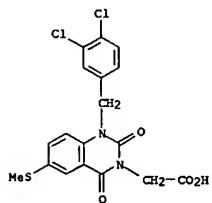
RN 136148-43-1 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-6-methoxy-2,4-dioxo- (9CI) (CA INDEX NAME)



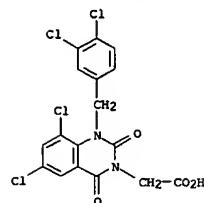
RN 136148-44-2 HCAPLUS
 CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo-6-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



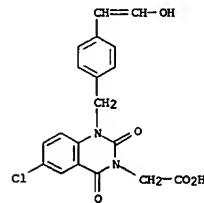
RN 136148-45-3 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6-(methylthio)-2,4-dioxo- (9CI) (CA INDEX NAME)



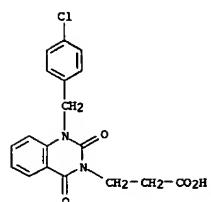
RN 136148-49-7 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6,8-dichloro-1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



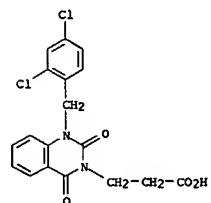
RN 136148-50-0 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-1-[(4-(2-hydroxyethenyl)phenyl)methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)



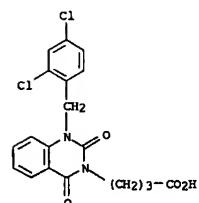
RN 136148-53-3 HCAPLUS
CN 3(2H)-Quinazolinepropanoic acid, 1-[(4-chlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



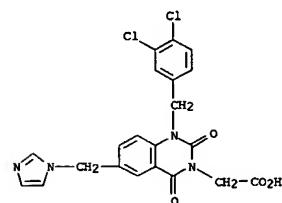
RN 136148-54-4 HCAPLUS
CN 3(2H)-Quinazolinepropanoic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



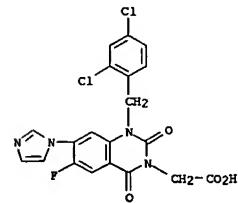
RN 136148-55-5 HCAPLUS
CN 3(2H)-Quinazolinebutanoic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



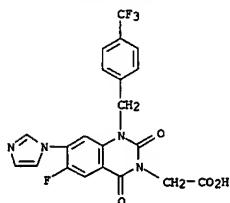
RN 136148-69-1 HCAPLUS



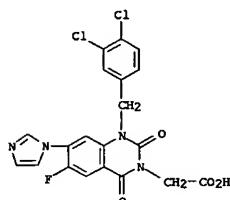
RN 136148-74-8 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(2,4-dichlorophenyl)methyl]-6-fluoro-1,4-dihydro-7-(1H-imidazol-1-yl)-2,4-dioxo- (9CI) (CA INDEX NAME)



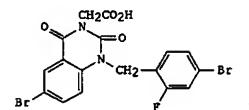
RN 136148-75-9 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-fluoro-1,4-dihydro-7-(1H-imidazol-1-yl)-2,4-dioxo-1-[(4-(trifluoromethyl)phenyl)methyl]- (9CI) (CA INDEX NAME)



RN 136148-76-0 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-6-fluoro-1,4-dihydro-7-(1H-imidazol-1-yl)-2,4-dioxo- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:247224 HCAPLUS
DOCUMENT NUMBER: 114:247224
TITLE: Quinazolineacetic acids and related analogs as aldose reductase inhibitors
AUTHOR(S): Malamas, Michael S.; Millen, Jane
CORPORATE SOURCE: Wyeth-Ayerst Res., Princeton, NJ, 08543-8000, USA
SOURCE: Journal of Medicinal Chemistry (1991), 34(4), 1492-503
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB A variety of 2,4-dioxoquinazolineacetic acids (e.g., I) were synthesized as hybrids of the known aldose reductase inhibitors alrestatin, ICI-105,552, and ICI-128,436 and evaluated for their ability to inhibit partially purified bovine lens aldose reductase (in vitro) and their effectiveness to decrease galactitol accumulation in the 4-day galactosamine rat model (in vivo). In support of SAR studies, related analogs pyrimidinediones, dihydroquinazolones, and indazolidinones were synthesized and tested in the in vitro and in vivo assays. All prepared compds. have shown a high level of in vitro activity (IC50 .apprx.10-6 to 4 + 10-8 M). However, only the 2,4-quinazolininedione analog, with similar N-alkyl substitution exhibited good oral potency. The remaining compds. were either inactive or had only a marginal in vivo activity. The structure-activity data support the presence of a secondary hydrophobic pocket in the vicinity of the primary lipophilic region of the enzyme.

IT 133166-46-8P 133166-48-0P 133166-49-1P

133166-50-4P 133166-53-7P 133166-54-8P

133166-55-9P 133166-56-0P 133166-57-1P

133166-58-2P 133166-59-3P 133166-60-6P

133166-61-7P 133166-64-0P 133166-65-1P

133166-67-3P 133166-68-4P 133166-69-5P

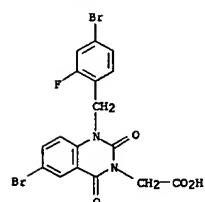
133166-70-8P 133166-71-9P 133166-72-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

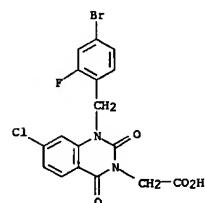
(preparation and aldose reductase inhibition activity of)

RN 133166-46-8 HCAPLUS

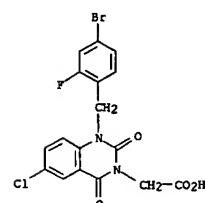
CN 3(2H)-Quinazolineacetic acid, 6-bromo-1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



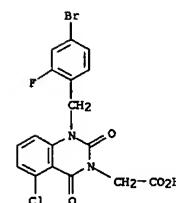
RN 133166-48-0 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-7-chloro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



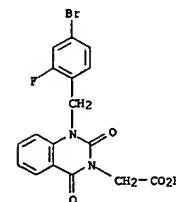
RN 133166-49-1 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-6-chloro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



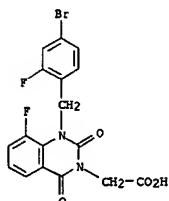
RN 133166-50-4 HCAPLUS



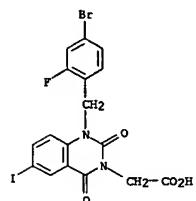
RN 133166-53-7 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



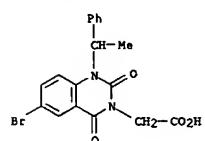
RN 133166-54-8 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-8-fluoro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



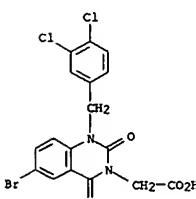
RN 133166-55-9 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



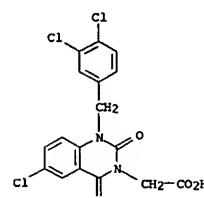
RN 133166-56-0 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-bromo-1,4-dihydro-2,4-dioxo-1-(1-phenylethyl)- (9CI) (CA INDEX NAME)



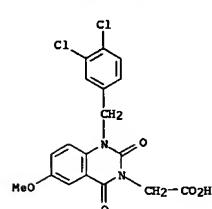
RN 133166-57-1 HCAPLUS



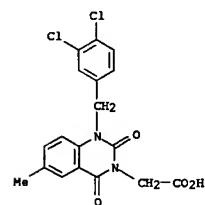
RN 133166-58-2 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



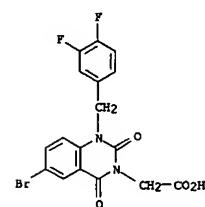
RN 133166-59-3 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6-methoxy-2,4-dioxo- (9CI) (CA INDEX NAME)



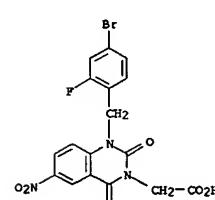
RN 133166-60-6 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)



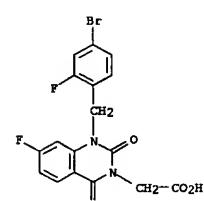
RN 133166-61-7 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-bromo-1-[(3,4-difluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



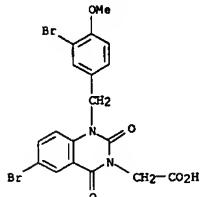
RN 133166-64-0 HCAPLUS



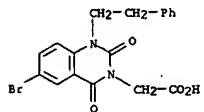
RN 133166-65-1 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-7-fluoro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



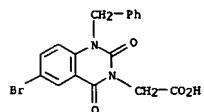
RN 133166-67-3 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-bromo-1-[(3-bromo-4-methoxyphenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)



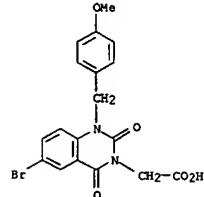
RN 133166-64-4 HCPLUS
CN 3(2H)-Quinazolineacetic acid, 6-bromo-1,4-dihydro-2,4-dioxo-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



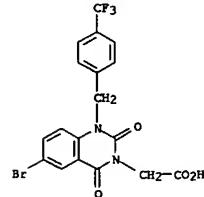
RN 133166-69-5 HCPLUS
CN 3(2H)-Quinazolineacetic acid, 6-bromo-1,4-dihydro-2,4-dioxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



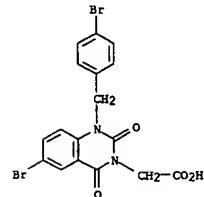
RN 133166-70-8 HCPLUS
CN 3(2H)-Quinazolineacetic acid, 6-bromo-1,4-dihydro-1-[(4-methoxyphenyl)methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)



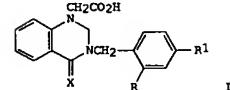
RN 133166-71-9 HCPLUS
CN 3(2H)-Quinazolineacetic acid, 6-bromo-1,4-dihydro-2,4-dioxo-1-[(4-trifluoromethyl)phenyl]methyl)- (9CI) (CA INDEX NAME)



RN 133166-72-0 HCPLUS
CN 3(2H)-Quinazolineacetic acid, 6-bromo-1-[(4-bromophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

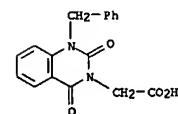


L4 ANSWER 12 OF 14 HCPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:478326 HCPLUS
DOCUMENT NUMBER: 113:78326
TITLE: Aldose reductase inhibition by 2,4-oxo and thioxo derivatives of 1,2,3,4-tetrahydroquinazoline
AUTHOR(S): Billon, Florence; Delchambre, Chantal; Cloarec, Alix; Sartori, Eric; Teulon, Jean Marie
CORPORATE SOURCE: CARPIEM Lab., Rueil-Malmaison, 92506, Fr.
SOURCE: European Journal of Medicinal Chemistry (1990), 25(2), 121-6
DOCUMENT TYPE: CODEN: EJMCA5; ISSN: 0223-5234
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:78326
GI



AB Original [(2,4-dioxo-1,2,3,4-tetrahydroquinazolin-1-yl) acetic acids and their thioxo derivs. (e.g., I X=O, S; R=H, R1 = OH, MeO) were prepared from isatoic anhydride and examined for their ability to inhibit aldose reductase in vitro and in vivo. Most were active in vitro on rat lens aldose reductase in the 10-7 M range. I (X = O, R = F, R1 = Br) was a good inhibitor of galactitol accumulation in sciatic nerves in hyperglycemic rats and prevented cataract formation.

IT 128650-89-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and aldose reductase-inhibiting activity of)
RN 128650-89-5 HCPLUS
CN 3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:56027 HCAPLUS

DOCUMENT NUMBER: 108:56027

TITLE: Quinazolinecarboxylic acids. Part X. Synthesis of 1-methyl-2,4-dioxoquinazolin-3-yl-acetic acid, 2,4-dioxoquinazolin-1-yl-acetic acids, 2,4-dioxo-1,3-quinazolinediacetic acids and their esters

AUTHOR(S): Süss, Manfred; John, Siegfried

CORPORATE SOURCE: Inst. Biochem. Pflanz., Dtsch. Akad. Wiss., Halle/Saale, DDR-4050, Ger. Dem. Rep.

SOURCE: Monatshefte fuer Chemie (1987), 118(1), 71-9

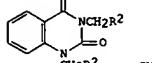
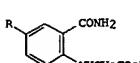
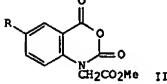
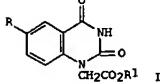
CODEN: MOCHB7; ISSN: 0026-9247

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 108:56027

GI



AB 2,4-Dioxoquinazolin-1-yl-acetic acid esters I (R = H, Br, Cl; R1 = Me) were prepared by the reaction of either 3,1-benzoxazine-2,4-diones II with urea in the melt or in solution or of the substituted antranilic acid ester with potassium cyanate in acid solution. The antranilamides III with trichloromethyl chloroformate (diphosgene) also gave I. Alkaline hydrolysis of I (R1 = Me) affords I (R = H). 2,4-Dioxo-1,3-quinazolinediacetic acids were synthesized from II and glycine ester. Quinazoline-2,4-dione with Et bromoacetate yielded IV (R2 = CO2Et) and with chloroacetonitrile IV (R2 = cyano). 1-Methyl-3,1-benzoxazine-2,4-dione was transformed under similar conditions into 1-methyl-2,4-dioxoquinazolin-3-yl-acetic acid.

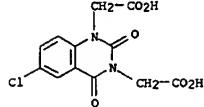
IT 105407-94-1P 112342-57-1

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and neutralization of)

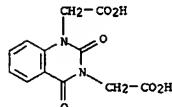
RN 105407-94-1 HCAPLUS

CN 1,3(2H,4H)-Quinazolinediacetic acid, 6-chloro-2,4-dioxo-, dipotassium salt (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 K

RN 112342-57-1 HCAPLUS
CN 1,3(2H,4H)-Quinazolinediacetic acid, 2,4-dioxo-, dipotassium salt (9CI) (CA INDEX NAME)

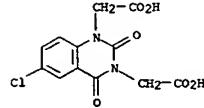
●2 K

IT 105407-95-2P 112342-48-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 105407-95-2 HCAPLUS

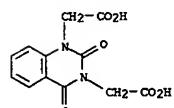
CN 1,3(2H,4H)-Quinazolinediacetic acid, 6-chloro-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 112342-48-0 HCAPLUS

CN 1,3(2H,4H)-Quinazolinediacetic acid, 2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:626633 HCAPLUS

DOCUMENT NUMBER: 105:226633

TITLE: 1,2,3,4-Tetrahydroquinazoline-2,4-dioneacetates
INVENTOR(S): Süss, Manfred; John, Siegfried
PATENT ASSIGNEE(S): Akademie der Wissenschaften der DDR, Ger. Dem. Rep.

SOURCE: Ger. (East), 12 pp.

CODEN: GEKXAB

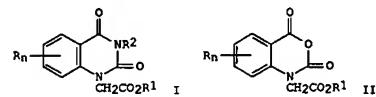
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 232702	A1	19860205	DD 1982-243374	19820920
PRIORITY APPLN. INFO.:			DD 1982-243374	19820920
OTHER SOURCE(S):	CASREACT	105:226633		
GI				



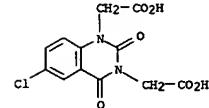
AB Title compds. I [R = halo, NO₂, cyano, alkyl, alkoxyl; R1 = H, alkali metal, alkyl; R2 = H, (substituted) alkyl, aryl, heterocycle; n = 0-4], potentially useful in agriculture or medicine (no data), are prepared from isatoic anhydrides II and amines or ureas, or from isatoates. Thus, II (n = 0, R1 = Et) reacted sequentially with Et glycinate and phosgene to give 51% I (n = 0, R1 = Et, R2 = CH₂CO₂Et).

IT 105407-94-1P 105407-95-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 105407-94-1 HCAPLUS

CN 1,3(2H,4H)-Quinazolinediacetic acid, 6-chloro-2,4-dioxo-, dipotassium salt (9CI) (CA INDEX NAME)



●2 K

RN 105407-95-2 HCAPLUS

CN 1,3(2H,4H)-Quinazolinediacetic acid, 6-chloro-2,4-dioxo- (9CI) (CA INDEX NAME)

10/-750,326

L4 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
NAME)

